

DERWENT-ACC-NO: 1993-197743

DERWENT-WEEK: 199325

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TITLE: Stable nano-sol of sparingly water soluble
pharmaceutical - has external phase of gelatin selected
to balance charge on drug particle to improve
bioavailability

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(December 5,
1991)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
DE 4140195 A1	June 17, 1993	N/A	012	B01J 013/00
DE 4140195 C2	October 27, 1994	N/A	011	A61K 009/10

APPLICATION-DATA:

PUB-NO	APPL-DESCRIPTOR	APPL-NO	APPL-DATE
DE 4140195A1	N/A	1991DE-4140195	December 5, 1991
DE 4140195A1	Div ex	1991DE-4143425	December 5, 1991
DE 4140195A1	Div ex	DE 4143425	N/A
DE 4140195C2	N/A	1991DE-4140195	December 5, 1991

INT-CL (IPC): A61K009/10, B01J013/00

RELATED-ACC-NO: 1993-189400, 1993-196698 , 1993-196699

ABSTRACTED-PUB-NO: DE 4140195A

BASIC-ABSTRACT:

Prepn. of colloiddally dispersed system of a pharmaceutical (I) sparingly soluble in water comprises (a) selecting a gelatin (deriv.) or collagen hydrolysate having an isoelectric point (IEP) such that at a particular pH it will neutralise the charge on particles of (I), (b) converting the selected cpd. to aq. soln. form, (c) adjusting the pH (in accordance with (IEP) such that nanoparticles of (I) formed will be stabilised by (almost) complete charge neutralisation, and (d) before or after step (c), (I) is dissolved in the aq sol or a soln. of (I) is combined with the aq. sol.

(I) can be replaced by other sparingly soluble organic and/or inorganic cpds. (Ia).

Also new are the nanosols themselves. They consist of (a) an inner phase of (I) or (Ia) of particle size 10-800 nm., having a negative or positive surface charge, (b) an external phase of gelatin (deriv.) or collagen hydrolysate with opposite charge and the charge balance between the 2 phases is almost isoionic.

USE/ADVANTAGE - The systems are useful in tablets (with rapid or slow release), capsules, parenteral or bioadhesive formulations. The bioavailability of almost any (I) can be improved (by increasing the dissolution rate/without use of harmful additives, and the total (I) dose may be reduced. The process is simple, can use many different sorts of gelatin and by balancing the charges, Ostwald ripening (growth of the larger colloidal particles) is prevented, resulting in a stable, monodisperse system

ABSTRACTED-PUB-NO: DE 4140195C

EQUIVALENT-ABSTRACTS:

Prod. of nanosol of a pharmaceutical (I) which is sparingly soluble in water, comprises (a) selecting a gelatine, collagen hydrolysate or gelatine deriv. (II) with an isoelectric point that at a selected pH the undissolved (I) and (II) together are electrically neutral; (b) converting (II) to its aq. sol form; (c) combining (I) in soln. with the sol, or converting (I) in the sol to a dissolved form; and (d) before or after step (c), adjusting the pH according to isoelectric point of (II) so that the nanoparticles of (I) that are formed, are stabilised at (almost) complete electric neutrality.

USE - Process improves bioavailability of (I), which is any of a wide range of pharmaceuticals including ibuprofen, vitamins, antimycotics, analgesics, antirheumatics, etc.

CHOSEN-DRAWING: Dwg.0/1 Dwg.0/1

TITLE-TERMS: STABILISED NANO SOL SPARING WATER SOLUBLE
PHARMACEUTICAL EXTERNAL
PHASE GELATIN SELECT BALANCE CHARGE DRUG PARTICLE
IMPROVE

DERWENT-CLASS: A96 B07

CPI-CODES: A03-C01; A10-E09; A12-V01; B01-B02; B04-B04A6; B04-C03A;
B12-M03;
B12-M10; B12-M11B; B12-M11C;

CHEMICAL-CODES:

Chemical Indexing M1 *01*

Fragmentation Code

M423 M431 M782 M903 Q130 R024 V751

Chemical Indexing M5 *02*

Fragmentation Code

M431 M782 M903 M904 M910 Q130 R024 S001 S004 S030

S132 S133 S134 S142 S209 S216 S217 S311 S317 S511

S517 S521 S603 S620 T209 T230 T816 U016 U030 U520

Specific Compounds

00002M

UNLINKED-DERWENT-REGISTRY-NUMBERS: 0002U

POLYMER-MULTIPUNCH-CODES-AND-KEY-SERIALS:

Key Serials: 0231 0906 1986 2575 2766

Multipunch Codes: 014 04- 101 256 525 532 537 645 688

SECONDARY-ACC-NO:

CPI Secondary Accession Numbers: C1993-087612